

Remarks

I. Status of the Application and Claims

As originally filed, the present application had a total of 22 claims. During prosecution, all of these were cancelled and claims 29-35 were added. In the present response, new claims 36-40 have been added. Upon their entry, the claims pending in the application will be claims 29-40.

II. The Amendments

Claim 29 (and by extension its dependent claims) have been amended to restrict the options available for variables R^1 and R^2 in certain circumstances. Specifically, a proviso has been added to require that when $R^1=R^3=R^4=R^5=H$, R^2 is not hydrogen or a straight C_1 - C_6 alkyl, and when $R^2=R^3=R^4=R^5=H$, R^1 is not hydrogen or a straight C_1 - C_6 alkyl. Support for this change may be found within the claim itself. In addition, several dependent claims have been added that restrict the scope of claim 29.

Applicants are aware that, since a final rejection has been received in the application, the entry of the present amendments is at the discretion of the Examiner. Nevertheless, Applicants believe that the present amendments clearly eliminate the sole basis upon which claims have been rejected, *i.e.*, the added proviso eliminates the homolog of the prior art compound identified by the Examiner from the scope of the claims. Also, since all of the amendments and added claims serve to further restrict claims already considered by the Examiner, they should not require additional searching. Applicants therefore respectfully request that the amendments be entered.

The Rejections

On pages 3 and 4 of the Office Action, the Examiner rejects claims 29-35 under 35 U.S.C. § 103, based upon compound 191871-78-0 in the CAPLUS abstract of DE 19544687. Although the compound identified does not fall within the scope of the generic claims, the Examiner argues that a compound that does fall within the scope of the claims would be a homolog and therefore structurally obvious. It is then asserted that since the compound would be obvious the entire generic claim is rendered obvious and unpatentable.

Applicants do not dispute that the disclosure of a single species in the prior art can serve to *anticipate* a generic claim that includes the species. It is also true that the prior art disclosure of a homolog to a *specifically claimed* compound can render the claim unpatentable on obviousness grounds. However, Applicants are not aware of any justification for mixing these concepts together in the manner of the Office Action and using the doctrine of structural obviousness to invalidate a generic claim.¹ Applicants believe that the proper approach is to consider the claim as a whole and ask whether the teachings in the prior art reference would make it obvious. Using this approach, Applicants do not believe that the reference cited in the Office Action can reasonably be used to reject claims under 35 U.S.C. § 103.

Nevertheless, in the interest of advancing the prosecution of this case, Applicants have introduced a proviso that eliminates the compound that the Examiner has cited as being a homolog of the compound in the cited reference. Upon entry of the amendments, Applicants do not believe that there are any compounds that can be derived from the present generic claims that would be a homolog of a compound in the reference. It is therefore respectfully requested that the Examiner's rejection be withdrawn.

Conclusion

In light of the amendments and discussion above, Applicants submit that all of the Examiner's rejections have been overcome. It is therefore respectfully requested that these rejections be withdrawn and that the claims presently pending in the application be allowed.

¹ If Applicants are mistaken in this regard and the Examiner knows of a discussion in the MPEP or elsewhere that would justify the use of the structural obviousness doctrine to reject a generic claim,

If, in the opinion of the Examiner, a phone call may help to expedite the prosecution of this application, the Examiner is invited to call Applicant's undersigned attorney at (202) 419-7013.

Respectfully submitted,

FITCH, EVEN, TABIN & FLANNERY

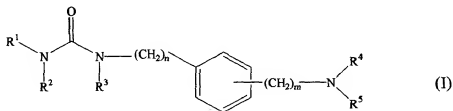
By: Michael A. Sanzo
Michael A. Sanzo
Reg. No. 36,912
Attorney for Applicant

Date: October 2, 2002
1801 K St., NW,
Suite 401L
Washington, DC 20006
(202)419-7013

Appendix**Version with Markings to Show Changes Made**

Claims 29 and 30 were amended herein. These claims are shown below with underlined words indicating text that was added and words that are bracketed and highlighted indicating text that was removed.

-- 29. (Once amended) A compound according to formula I:



wherein

m and n are each and independently an integer from 1-3, and one or more of the hydrogens in the alkylene chain may optionally be substituted by any one of C₁-C₆ alkyl, C₁-C₆ alkoxy, or hydroxy; or

one or more of the methylene groups may optionally be substituted by a heteroatom selected from O, N or S;

R¹ is selected from hydrogen, a branched or straight C₁-C₆ alkyl, C₂-C₆ alkenyl, C₃-C₈ cycloalkyl, C₄-C₈ (alkyl-cycloalkyl) wherein the alkyl is a C₁-C₂ alkyl and the cycloalkyl is a C₃-C₆ cycloalkyl;

R² is selected from any of:

- (i) hydrogen;
- (ii) a straight or branched C₁-C₆ alkyl, C₂-C₆ alkenyl or C₂-C₆ alkynyl;
- (iii) -[(CH₂)_q-aryl], wherein the aryl may optionally be substituted by 1 or 2 substituents Y, wherein each Y is as defined below; and wherein q is an integer from 0 to 3;

- (iv) $-[(CH_2)_r\text{-heteroaryl}]$ wherein the heteroaryl has from 5 to 10 atoms, each heteroatom being selected from any of S, N and O and wherein the heteroaryl may be substituted by 1 or 2 substituents Y, wherein each Y is as defined below; and wherein r is an integer from 0 to 3;
- (v) $C_3\text{-}C_{10}$ cycloalkyl, optionally comprising one or more unsaturations and optionally substituted by one or more heteroaryls, where each heteroaryl has from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined below;
- (vi) $C_6\text{-}C_{10}$ aryl, optionally and independently substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O and wherein the heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined below;
- (vii) a heteroaryl having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined below;

or R^1 and R^2 may optionally form a heterocyclic ring;

R^3 is selected from any one of:

- (i) hydrogen;
- (ii) a straight or branched $C_1\text{-}C_6$ alkyl, $C_2\text{-}C_6$ alkenyl or $C_2\text{-}C_6$ alkynyl;
- (iii) $-[(CH_2)_q\text{-aryl}]$ wherein q is an integer from 0 to 3, and wherein the aryl may optionally be substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined below;
- (iv) a heteroaryl- $(C_3\text{-}C_{10}\text{alkyl})$, wherein the heteroaryl has from 5 to 10 atoms, each heteroatom being selected from any of S, N and O, and wherein the aryl

and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined below;

- (v) a C₃-C₁₀ cycloalkyl, optionally comprising one or more unsaturations and optionally substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O, and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined below;
- (vi) $-\{(\text{C}_3\text{-C}_6 \text{ cycloalkyl})-(\text{CH}_2)_q\}$ wherein q is an integer from 1 to 3;

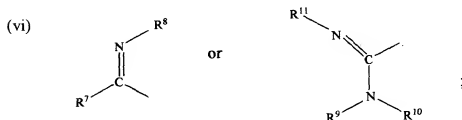
R⁴ is selected from:

- (i) hydrogen;
- (ii) a straight or branched C₁-C₆ alkyl, C₂-C₆ alkenyl or C₂-C₆ alkynyl;
- (iii) $-\{(\text{CH}_2)_q\text{-aryl}\}$ wherein q is an integer from 0 to 3, and wherein the aryl may optionally be substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined below;
- (iv) heteroaryl-(C₃-C₁₀ alkyl), wherein the heteroaryl has from 5 to 10 atoms, each heteroatom being selected from any of S, N and O, and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined below;
- (v) a C₃-C₁₀ cycloalkyl, optionally comprising one or more unsaturations and optionally substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined below;
- (vi) a C₆-C₁₀ aryl, optionally and independently substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined below;

- (vii) a heteroaryl having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein Y is as defined below;

R^5 is selected from:

- (i) hydrogen;
- (ii) a straight or branched C_1 - C_6 alkyl, C_2 - C_6 alkenyl or C_2 - C_6 alkynyl;
- (iii) $-[(CH_2)_q\text{-aryl}]$ wherein q is an integer from 0 to 3, and wherein the aryl may optionally be substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined below;
- (iv) a heteroaryl- $(C_5$ - C_{10} alkyl), wherein the heteroaryl has from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the aryl and heteroaryl may optionally and independently be substituted 1 or 2 substituents Y, wherein each Y is as defined below;
- (v) a C_3 - C_{10} cycloalkyl, optionally comprising one or more unsaturations and optionally substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O, and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined below;



wherein R^7 , R^8 , R^9 , R^{10} and R^{11} are each and independently selected from:

- (a) hydrogen;
- (b) a straight or branched C_1 - C_6 alkyl, C_2 - C_6 alkenyl or C_2 - C_6 alkynyl;

- (c) $-(\text{CH}_2)_q\text{-aryl}]$ wherein q is an integer from 0 to 3, and wherein the aryl may optionally be substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of the S, N and O; and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined below;
- (d) a heteroaryl-(C₅-C₁₀ alkyl), wherein the heteroaryl has from 5 to 10 atoms, each heteroatom being selected from any of S, N and O, and wherein the aryl and heteroaryl may optionally and independently be substituted 1 or 2 substituents Y, wherein each Y is as defined below;
- (e) a C₃-C₁₀ cycloalkyl, optionally comprising one or more unsaturations and optionally substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the aryl and heteroaryl may optionally and independently be substituted 1 or 2 substituents Y, wherein each Y is as defined below;
- (f) a C₆-C₁₀ aryl, optionally and independently substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O, and wherein the heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined below;

or R⁴ and R⁵ may optionally form a heterocyclic ring;

Y is each and independently selected from any of: hydrogen, CH₃; $-(\text{CH}_2)_p\text{CF}_3$; halogen; C₁-C₃ alkoxy; hydroxy; -NO₂; -OCF₃; -CONR^aR^b; -COOR^a; -COR^a; $-(\text{CH}_2)_{p2}\text{NR}^a\text{R}^b$; $-(\text{CH}_2)_{p3}\text{CH}_3$; $(\text{CH}_2)_{p4}\text{SOR}^a\text{R}^b$; $-(\text{CH}_2)_{p5}\text{SO}_2\text{R}^a$; $-(\text{CH}_2)_{p6}\text{SO}_2\text{NR}^a$; C₄-C₈(alkyl-cycloalkyl) wherein the alkyl is a C₁-C₂ alkyl, and the cycloalkyl is a C₃-C₆ cycloalkyl; 1 or 2 heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and oxides selected from N-oxides or sulfoxides; and wherein:

R^a and R^b are each and independently selected from hydrogen, a branched or straight C_1 - C_6 alkyl, a C_1 - C_6 alkenyl, a C_3 - C_8 cycloalkyl; and wherein:

p^1, p^2, p^3, p^4, p^5 and p^6 are each and independently 0, 1 or 2;

as well as pharmaceutically acceptable salts, isomers, hydrates, and isoforms thereof,

with the proviso that when [$R^1 = R^2 = R^3 = R^4 = H$, then $m + n \geq 4$] $R^1 = R^3 = R^4 = R^5 = H$, then R^2 is not hydrogen or a straight C_1 - C_6 alkyl and when $R^2 = R^3 = R^4 = R^5 = H$ then R^1 is not hydrogen or a straight C_1 - C_6 alkyl.

30. (Once amended) A compound according to [formula I of] claim 29, wherein:

$m=n=1$;

R^1 is selected from:

- (i) hydrogen;
- (ii) a branched or straight C_1 - C_6 alkyl; and
- (iii) a C_3 - C_8 cycloalkyl;

R^2 is selected from any of:

- (i) hydrogen;
- (ii) a straight or branched C_1 - C_6 alkyl;
- (iii) $-(CH_2)_q$ -aryl], wherein the aryl may optionally be substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29; and wherein q is an integer from 0 to 3;
- (iv) $-[(CH_2)_r$ -heteroaryl] wherein the heteroaryl has from 5 to 10 atoms, each heteroatom being selected from any of S, N and O and wherein the heteroaryl may optionally be substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29; and wherein r is an integer from 0 to 3;
- (v) a C_3 - C_6 cycloalkyl, optionally comprising one or more unsaturations and optionally substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O;

and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, where each Y is as defined in claim 29;

- (vi) a C₆-C₁₀ aryl, optionally and independently substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29;
- (vii) a heteroaryl having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29;

or R¹ and R² may optionally form a heterocyclic ring;

R³ is selected from any one of:

- (i) hydrogen;
- (ii) a straight or branched C₁-C₆ alkyl;
- (iii) -(CH₂)_q-aryl] wherein q is an integer from 0 to 3, and wherein the aryl may optionally be substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29;
- (iv) a heteroaryl-(C₅-C₁₀ alkyl), wherein the heteroaryl has from 5 to 10 atoms, each heteroatom being selected from any of S, N and O, and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29;
- (v) a C₃-C₁₀ cycloalkyl, optionally comprising one or more unsaturations and optionally substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O, and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29;
- (vi) -[(C₃-C₆ cycloalkyl)-(CH₂)_q] wherein q is an integer from 1 to 3;

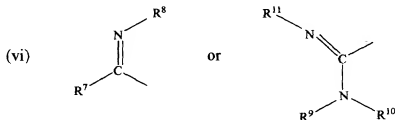
R⁴ is selected from:

- (i) hydrogen;
- (ii) a straight or branched C₁-C₆ alkyl;
- (iii) $-(\text{CH}_2)_q\text{-aryl}$ wherein q is an integer from 0 to 3, and wherein the aryl may optionally be substituted by one or more heteroaryls having from 5 to 10 atoms each heteroatom being selected from any of S, N and O; and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29;
- (iv) a heteroaryl-(C₅-C₁₀ alkyl), wherein the heteroaryl has from 5 to 10 atoms, each heteroatom being selected from any of S, N and O, and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29;
- (v) a C₆-C₁₀ aryl, optionally and independently substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29;

R⁵ is selected from any one of:

- (i) hydrogen;
- (ii) a straight or branched C₁-C₆ alkyl;
- (iii) $-(\text{CH}_2)_q\text{-aryl}$ wherein q is 0 or 1, and wherein the aryl may optionally be substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29;
- (iv) a heteroaryl-C₅-C₁₀ alkyl, wherein the heteroaryl has from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29;

- (v) a C₃-C₆ cycloalkyl, optionally comprising one or more unsaturations and optionally substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O, and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29;



wherein: R⁷, R⁸, R⁹, R¹⁰, R¹¹ are each and independently selected from:

- (a) hydrogen;
- (b) a straight or branched C₁-C₆ alkyl or C₂-C₆ alkenyl;
- (c) -[(CH₂)_q-aryl] wherein q is an integer from 0 to 3, and wherein the aryl may optionally be substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29;
- (d) a heteroaryl-(C₃-C₁₀ alkyl), wherein the heteroaryl has from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the aryl and heteroaryl may optionally and independently be substituted by 1 or 2 substituents Y, wherein each Y is as defined in claim 29;
- (e) a C₃-C₁₀ cycloalkyl, optionally comprising one or more unsaturations and optionally substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O; and wherein the aryl and the heteroaryl may optionally and independently be substituted 1 or 2 substituents Y, wherein each Y is as defined in claim 29;
- (f) a C₆-C₁₀ aryl, optionally and independently substituted by one or more heteroaryls having from 5 to 10 atoms, each heteroatom being selected from any of S, N and O, and wherein the heteroaryl may optionally and

independently be substituted 1 or 2 substituents Y, wherein each Y is as defined in claim 29;

or R⁴ and R⁵ may form a heterocyclic ring which may optionally and independently be substituted 1 or 2 substituents Y, wherein each Y is as defined in claim 29.